### (12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

## (19) World Intellectual Property Organization International Bureau



# 

# (43) International Publicati n Date 22 February 2001 (22.02.2001)

**PCT** 

# (10) International Publication Number WO 01/12197 A1

- (51) International Patent Classification<sup>7</sup>: A61K 31/485, C07D 489/08, 491/18, 491/22, 495/18, 495/22, A61P 25/04, 37/02
- (21) International Application Number: PCT/US00/22094
- (22) International Filing Date: 14 August 2000 (14.08.2000)
- (25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

60/148,581

13 August 1999 (13.08.1999) Us

- (71) Applicant (for all designated States except US): SOUTH-ERN RESEARCH INSTITUTE [US/US]; 2000 9th Avenue South, Birmingham, AL 35205 (US).
- (72) Inventor; and
- (75) Inventor/Applicant (for US only): ANANTHAN, Subramaniam [US/US]; 1816 Forest Haven Lane, Birmingham, AL 35216 (US).

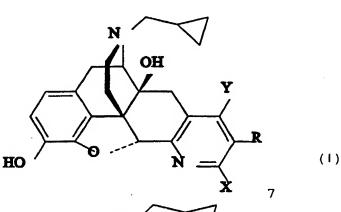
- (74) Agents: AMERNICK, Burton, A. et al.; Pollock, Vande Sande & Amernick, P.O. Box 19088, Washington, DC 20036 (US).
- (81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW.
- (84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).

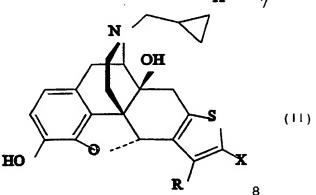
#### Published:

With international search report.

[Continued on next page]

#### (54) Title: PYRIDOMORPHINANS, THIENOMOPRHINANS AND USE THEREOF





(57) Abstract: Compounds-represented by formulae (I) and (II) wherein each of Y, X and R individually is selected from the group consisting of hydrogen, hydroxy, halo, CF<sub>3</sub>, NO<sub>2</sub>, CN, NH<sub>2</sub>, COR<sup>1</sup> and CO<sub>2</sub>R<sup>2</sup> wherein R<sup>1</sup> is selected from the group consisting of alkyl, aryl, alkaryl, and NH<sub>2</sub>, and R<sup>2</sup> is selected from the group consisting of alkyl, aryl and aralkyl, and provided that at least one of Y, X, and R is other than H; and pharmaceutically acceptable salts thereof are provided. Compounds of the formula are useful as analgesics for treating pain, as immunomodulators and for treating drug abuse.

